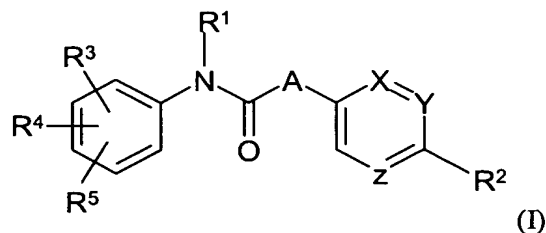


We Claim:

1. A compound of formula (I)



wherein:

A is a methylene group optionally substituted by a C₁₋₃-alkyl group, or

a straight-chain C₂₋₃-alkyl group optionally substituted by a C₁₋₃-alkyl group wherein the methylene group linked to the aromatic group or heteroaromatic group is optionally replaced by an oxygen or sulfur atom or by an -NH- group, wherein the -NH- group is optionally additionally substituted by a C₁₋₃-alkyl, carboxy-C₁₋₃-alkyl, or C₁₋₃-alkoxycarbonyl-C₁₋₃-alkyl group;

R¹ is a hydrogen atom or a C₁₋₃-alkyl group optionally substituted by a carboxy group;

R² is a cyano, aminomethyl, or amidino group optionally substituted by a hydroxy, C₁₋₈-alkoxycarbonyl or benzoyl group;

R³ is a C₁₋₅-alkyl or carboxy-C₁₋₄-alkyl group, each optionally substituted in the alkyl moiety by a C₃₋₇-cycloalkyl, phenyl, pyridyl, pyrrolidino, 2,5-dihydro-1*H*-pyrrolino, piperidino, or hexamethyleneimino group,

a carbonyl or sulfonyl group which is substituted in each case

by a C₁₋₅-alkyl, C₃₋₇-cycloalkyl, or phenyl group optionally substituted by a C₁₋₃-alkyl or carboxy-C₁₋₃-alkyl group,

by an amino, C₁₋₄-alkylamino, or carboxy-C₁₋₄-alkylamino group substituted by a C₁₋₅-alkyl, C₃₋₇-cycloalkyl, phenyl, phenyl-C₁₋₃-alkyl, pyridyl, or pyridyl-C₁₋₃-alkyl group, or

by a pyrrolidino, 2,5-dihydro-1*H*-pyrrolino, piperidino, or hexamethyleneimino group optionally substituted by a C₁₋₃-alkyl or carboxy-C₁₋₃-alkyl group,

a carboxy-C₁₋₃-alkylcarbonylamino group optionally substituted in the alkyl moiety by an amino, C₁₋₃-alkylamino, or di-(C₁₋₃-alkyl)-amino group, or an amino, carboxy-C₁₋₃-alkylaminocarbonylamino, carboxy-C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylcarbonylamino, carboxy-C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylaminocarbonylamino, amino-C₁₋₃-alkylcarbonylamino, C₁₋₃-alkylamino-C₁₋₃-alkylcarbonylamino, or di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkylcarbonylamino group, wherein in each case in the amino groups thereof the hydrogen atom of the amino group which is linked to the phenyl ring is replaced by a C₁₋₆-alkyl, C₃₋₇-cycloalkyl, phenyl, or pyridyl group, an *n*-propylene or *n*-butylene bridge or a phenyl, pyridine, or piperidine ring is optionally fused to the phenyl or pyridyl substituents via two adjacent carbon atoms, or the aromatic substituents are optionally additionally substituted by a C₁₋₃-alkyl, C₁₋₃-alkyloxy, trifluoromethyl, or carboxy group or by 2 to 4 methyl groups,

an amino, carboxy-C₁₋₄-alkylamino, carboxy-C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylamino, aminocarbonyl-C₁₋₃-alkylamino, C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylamino, di-(C₁₋₃-alkyl)-aminocarbonyl-C₁₋₃-alkylamino, amino-C₂₋₃-alkylamino, C₁₋₄-alkylamino-C₂₋₃-alkylamino, di-(C₁₋₄-alkyl)-amino-C₂₋₃-alkylamino, pyrrolidinocarbonyl-C₁₋₃-alkylamino, piperidinocarbonyl-C₁₋₃-alkylamino, hexahydroazepinocarbonyl-C₁₋₃-alkylamino, morpholinocarbonyl-C₁₋₃-alkylamino, piperazinocarbonyl-C₁₋₃-alkylamino, or *N*-(C₁₋₃-alkyl)-piperazinocarbonyl-C₁₋₃-alkylamino group, wherein in each case in the amino groups thereof the hydrogen atom of the amino group which is linked to the phenyl ring is replaced by a C₁₋₅-alkylcarbonyl, C₁₋₅-alkylsulfonyl, C₃₋₇-cycloalkylcarbonyl, C₃₋₇-cycloalkylsulfonyl, benzoyl, phenylsulfonyl, phenyl-C₁₋₃-alkylcarbonyl, phenyl-C₁₋₃-alkylsulfonyl, or pyridinoyl group, an *n*-propylene or *n*-butylene bridge or a phenyl, pyridine, or piperidine ring is optionally fused to the phenyl or pyridyl substituents via two adjacent carbon atoms or the

aromatic substituents are optionally additionally substituted by a C₁₋₃-alkyl, C₁₋₃-alkyloxy, trifluoromethyl, or carboxy group or by 2 to 4 methyl groups, or

a phenyl, pyridyl, imidazolyl, or pyrazolyl group optionally substituted by one, two, or three C₁₋₃-alkyl groups, wherein in each case the alkyl substituents are identical or different and one of the alkyl substituents is optionally additionally substituted by a carboxy, hydroxysulfonyl, aminosulfonyl, C₁₋₄-alkylaminosulfonyl, di-(C₁₋₄-alkyl)-aminosulfonyl, or C₁₋₄-alkylsulfonyl group;

R⁴ is a fluorine, chlorine, bromine, or iodine atom, or a carboxy, C₁₋₃-alkyl, carboxy-C₁₋₃-alkyl, trifluoromethyl, or C₁₋₃-alkoxy group, or also a hydrogen atom, if

R³ is a C₁₋₅-alkyl or carboxy-C₁₋₄-alkyl group, each substituted in the alkyl moiety by a C₃₋₇-cycloalkyl, phenyl, pyridyl, pyrrolidino, 2,5-dihydro-1*H*-pyrrolino, piperidino, or hexamethyleneimino group,

an amino, carboxy-C₁₋₄-alkylamino, or carboxy-C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylamino group, wherein in each case in the amino groups thereof the hydrogen atom of the amino group which is linked to the phenyl ring is replaced by a C₁₋₅-alkylcarbonyl, C₁₋₅-alkylsulfonyl, C₃₋₇-cycloalkylcarbonyl, C₃₋₇-cycloalkylsulfonyl, benzoyl, phenylsulfonyl, phenyl-C₁₋₃-alkylcarbonyl, phenyl-C₁₋₃-alkylsulfonyl, or pyridinoyl group, an *n*-propylene or *n*-butylene bridge, a phenyl, pyridine, or piperidine ring is optionally fused to the phenyl or pyridyl substituents via two adjacent carbon atoms or the aromatic substituents are optionally additionally substituted by a C₁₋₃-alkyl, C₁₋₃-alkyloxy, trifluoromethyl, or carboxy group or by 2 to 4 methyl groups; and

R⁵ is a hydrogen, fluorine, chlorine, bromine, or iodine atom, or a C₁₋₃-alkyl or trifluoromethyl group, or

R⁴ and R⁵ together are an *n*-C₃₋₄-alkylene group,

with the proviso that at least one of the groups R¹, R⁴, or R⁵ is not a hydrogen atom, and

X, Y, and Z in each case are nitrogen atoms or -CH- groups, with the proviso that at least one of the groups X, Y, and Z is a -CH- group,

wherein, unless otherwise stated:

(i) the hydrogen atoms in the methyl and methoxy groups thereof are optionally wholly or partially replaced by fluorine atoms,

(ii) the carboxy groups are optionally replaced by a group which is converted *in vivo* into a carboxy group or by a group which is negatively charged under physiological conditions,

(iii) the amino and imino groups are optionally substituted by a group which is cleaved *in vivo*, and

the prodrugs, tautomers, and salts thereof.

2. The compound of formula (I) according to claim 1, wherein:

A is a methylene group, or

a C₂₋₃-alkyl group wherein the methylene group linked to the aromatic group or heteroaromatic group is optionally replaced by an -NH- group or by an oxygen atom, while the -NH- group may additionally be substituted by a C₁₋₃-alkyl, carboxy-C₁₋₃-alkyl or C₁₋₃-alkoxycarbonyl-C₁₋₃-alkyl group;

R³ is a C₁₋₅-alkyl or carboxy-C₁₋₄-alkyl group, each optionally substituted in the alkyl moiety by a C₃₋₇-cycloalkyl, phenyl, pyridyl, pyrrolidino, 2,5-dihydro-1*H*-pyrrolino, piperidino, or hexamethyleneimino group,

a carbonyl or sulfonyl group which is substituted in each case

by a C₁₋₅-alkyl or C₃₋₇-cycloalkyl group optionally substituted by a C₁₋₃-alkyl or carboxy-C₁₋₃-alkyl group,

by an amino, C₁₋₄-alkylamino, or carboxy-C₁₋₄-alkylamino group substituted by a C₁₋₅-alkyl, C₃₋₇-cycloalkyl, phenyl, benzyl, or pyridyl group, or

by a pyrrolidino, 2,5-dihydro-1*H*-pyrrolino, piperidino, or hexamethyleneimino group optionally substituted by a C₁₋₃-alkyl or carboxy-C₁₋₃-alkyl group,

a carboxy-C₁₋₃-alkylcarbonylamino group optionally substituted in the alkyl moiety by an amino group, or an amino, carboxy-C₁₋₃-alkylaminocarbonylamino, carboxy-C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylcarbonylamino, carboxy-C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylaminocarbonylamino, or amino-C₁₋₃-alkylcarbonylamino group, wherein in each case in the amino groups thereof the hydrogen atom of the amino group which is linked to the phenyl ring is replaced by a C₁₋₆-alkyl, C₃₋₇-cycloalkyl, phenyl, or pyridyl group, or a phenyl, pyridine, or piperidine ring is optionally fused to the phenyl or pyridyl substituents via two adjacent carbon atoms, or the aromatic substituents are optionally additionally substituted by a C₁₋₃-alkyl, C₁₋₃-alkyloxy, trifluoromethyl, or carboxy group or by 2 to 4 methyl groups,

an amino, carboxy-C₁₋₄-alkylamino, carboxy-C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylamino, aminocarbonyl-C₁₋₃-alkylamino, pyrrolidinocarbonyl-C₁₋₃-alkylamino, piperidinocarbonyl-C₁₋₃-alkylamino or morpholinocarbonyl-C₁₋₃-alkylamino group, wherein in each case in the amino groups thereof the hydrogen atom of the amino group which is linked to the phenyl ring is replaced by a C₁₋₅-alkylcarbonyl, C₁₋₅-alkylsulfonyl, C₃₋₇-cycloalkylcarbonyl, C₃₋₇-cycloalkylsulfonyl, benzoyl, phenylsulfonyl, phenyl-C₁₋₃-alkylcarbonyl, an *n*-propylene or *n*-butylene bridge or a phenyl, pyridine, or piperidine ring is optionally fused to the phenyl or pyridyl substituents via two adjacent carbon atoms or the aromatic substituents are optionally additionally substituted by a C₁₋₃-alkyl, C₁₋₃-alkyloxy, trifluoromethyl, or carboxy group or by 2 to 4 methyl groups,

a phenyl, pyridyl, imidazolyl, or pyrazolyl group optionally substituted by one, two, or three C₁₋₃-alkyl groups, wherein in each case the alkyl substituents are identical or different and one

of the alkyl substituents is optionally additionally substituted by a carboxy, hydroxysulfonyl, aminosulfonyl, C₁₋₄-alkylaminosulfonyl, di-(C₁₋₄-alkyl)-aminosulfonyl, or C₁₋₄-alkylsulfonyl group,

R⁴ is a chlorine or bromine atom, a carboxy, C₁₋₃-alkyl, carboxy-C₁₋₃-alkyl, or trifluoromethyl group, or also a hydrogen atom, if

R³ is a C₁₋₅-alkyl or carboxy-C₁₋₄-alkyl group, which is substituted in each case in the alkyl moiety by a C₃₋₇-cycloalkyl, phenyl, pyridyl, pyrrolidino, piperidino, or hexamethyleneimino group,

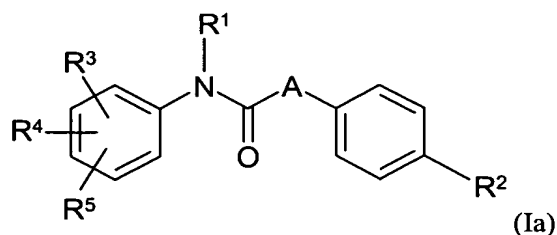
an amino, carboxy-C₁₋₄-alkylamino, or carboxy-C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkylamino group, wherein in each case in the amino groups thereof the hydrogen atom of the amino group which is linked to the phenyl ring is replaced by a C₁₋₅-alkylcarbonyl, C₁₋₅-alkylsulfonyl, C₃₋₇-cycloalkylcarbonyl, C₃₋₇-cycloalkylsulfonyl, benzoyl, phenylsulfonyl, phenyl-C₁₋₃-alkylcarbonyl, or pyridinoyl group, an *n*-propylene or *n*-butylene bridge, or a phenyl, pyridine, or piperidine ring is optionally fused to the phenyl or pyridyl substituents via two adjacent carbon atoms or the aromatic substituents are optionally additionally substituted by a C₁₋₃-alkyl, C₁₋₃-alkyloxy, or trifluoromethyl group or by 2 to 4 methyl groups; and

R⁵ is a hydrogen, chlorine, or bromine atom, or a C₁₋₃-alkyl or trifluoromethyl group or

R⁴ and R⁵ together are an *n*-C₃₋₄-alkylene group, and

the C₁₋₃-alkyl and benzyl esters, prodrugs, tautomers, and salts thereof.

3. A compound of formula (Ia)



wherein:

A is a methylene group, or

an ethylene group wherein the methylene group linked to the aromatic group is optionally replaced by an oxygen atom or by an -NH- group, wherein the -NH- group is optionally additionally substituted by a methyl, carboxymethyl, or C₁₋₃-alkoxycarbonylmethyl group;

R¹ is a hydrogen atom, or a methyl or ethyl group;

R² is a cyano or aminomethyl group or an amidino group optionally substituted by a hydroxy, C₁₋₈-alkyloxycarbonyl, or benzoyl group;

R³ is a straight-chain or branched C₁₋₅-alkyl group optionally substituted by a phenyl, pyridyl, or piperidino group,

a carbonyl or sulfonyl group which is substituted in each case by a straight-chain or branched C₁₋₅-alkyl, C₃₋₅-cycloalkyl, phenylamino, *N*-(C₁₋₄-alkyl)phenylamino, *N,N*-di-(C₁₋₄-alkyl)-amino, *N*-(C₁₋₄-alkyl)-benzylamino, *N*-(C₁₋₄-alkyl)-pyridylamino, pyrrolidino, or methylpyrrolidino group,

an amino, methylamino, carboxymethylamino, C₁₋₃-alkoxycarbonylmethylamino, or morpholinocarbonylmethylamino group which is substituted in each case at the amino nitrogen atom by a phenylsulfonyl group optionally substituted by one to four methyl groups, by a phenylsulfonyl group substituted by a trifluoromethyl, carboxy, or C₁₋₃-alkoxycarbonyl group, or by a benzoyl, benzylsulfonyl, naphthylsulfonyl, quinolylsulfonyl, or 1,2,3,4-tetrahydroquinolylsulfonyl group,

a straight-chain or branched C₁₋₅-alkylamino or C₃₋₅-cycloalkylamino group which is substituted in each case at the amino nitrogen atom by a C₂₋₃-alkanoyl group substituted by a carboxy or C₁₋₃-alkoxycarbonyl and/or an amino group, or by a carboxymethylaminocarbonyl or C₁₋₃-alkoxycarbonylmethylaminocarbonyl group, or

a pyrazol-1-yl group substituted by two straight-chain or branched C₁₋₃-alkyl groups;

R⁴ is a chlorine or bromine atom, or a methyl, trifluoromethyl, carboxymethyl, or C₁₋₃-alkoxycarbonylmethyl group or also a hydrogen atom, if

R¹ is an ethyl group, or

R³ is a pyrrolidinocarbonyl group, a carboxymethylamino, or C₁₋₃-alkoxycarbonylmethylamino group wherein in each case the amino nitrogen atom is substituted by a benzoyl group; and

R⁵ is a hydrogen, chlorine, or bromine atom or a methyl group, or

R⁴ and R⁵ together are an *n*-propylene group,

with the proviso that at least two of the groups R¹, R⁴, and R⁵ are not hydrogen atoms,

wherein, unless otherwise stated the hydrogen atoms in the methyl and methoxy groups thereof are optionally wholly or partially replaced by fluorine atoms, and

the prodrugs, tautomers, and salts thereof.

4. The compound of formula (Ia) according to claim 3, wherein:

R⁴ is a chlorine or bromine atom, or a methyl or trifluoromethyl group,

and the prodrugs, tautomers, and salts thereof.

5. The compound of formula (Ia) according to claim 3, wherein:

A is an ethylene group wherein the methylene group linked to the aromatic group is optionally replaced by an -NH- group;

R¹ is a hydrogen atom, or a methyl or ethyl group;

R² is an amidino group;

R³ is a C₃₋₅-alkyl group,

a carbonyl group which is substituted by a straight-chain or branched C₁₋₅-alkyl, C₃₋₅-cycloalkyl, *N,N*-di-(C₁₋₄-alkyl)-amino, *N*-(C₁₋₄-alkyl)-benzylamino, *N*-(C₁₋₄-alkyl)-pyridylamino, pyrrolidino, or 2-methylpyrrolidino group,

a straight-chain or branched C₁₋₅-alkylamino or C₃₋₅-cycloalkylamino group which is substituted in each case at the amino nitrogen atom by a C₂₋₃-alkanoyl group substituted by a carboxy, or C₁₋₃-alkoxycarbonyl and/or an amino group, or by a carboxymethylaminocarbonyl or C₁₋₃-alkoxycarbonylmethylaminocarbonyl group, or

a pyrazol-1-yl group substituted by two straight-chain or branched C₁₋₃-alkyl groups;

R⁴ is a chlorine or bromine atom, or a methyl or trifluoromethyl group; and

R⁵ is a hydrogen, chlorine, or bromine atom or a methyl group,

with the proviso that at least one of the groups R¹ or R⁵ is not a hydrogen atom,

and the prodrugs, tautomers, and salts thereof.

6. The compound of formula (Ia) according to claim 5, wherein R^3 is in the 4 position, and the prodrugs, tautomers, and salts thereof.

7. A compound selected from:

- (a) 4-{*N*-[2,5-dimethyl-4-(2-methylpyrrolidinocarbonyl)phenylaminocarbonylmethyl]-amino}benzamidine,
- (b) 4-[*N*-(2,5-dimethyl-4-isopropylcarbonylphenylaminocarbonylmethyl)amino]benzamidine;
and
- (c) 4-{*N*-[2,5-dimethyl-4-(*N'*-isopropyl-*N'*-(2-ethoxycarbonylethylcarbonyl)amino)phenylaminocarbonylmethyl]amino}benzamidine,

and the prodrugs, tautomers, and salts thereof.

8. A compound selected from:

- (a) 4-{*N*-[2,5-dimethyl-4-(2-methylpyrrolidinocarbonyl)phenylaminocarbonylmethyl]-amino}benzamidine,
- (b) 4-[*N*-(2,5-dimethyl-4-isopropylcarbonylphenylaminocarbonylmethyl)amino]benzamidine;
and
- (c) 4-{*N*-[2,5-dimethyl-4-(*N'*-isopropyl-*N'*-(2-ethoxycarbonylethylcarbonyl)amino)phenylaminocarbonylmethyl]amino}benzamidine,

and the tautomers and salts thereof.

9. The compound according to one of claims 1 to 8, wherein R^2 is an amidino group, and the tautomers and physiologically acceptable salts thereof.

10. A pharmaceutical compositions comprising a compound according to claim 9 and one or more inert carriers and/or diluents.